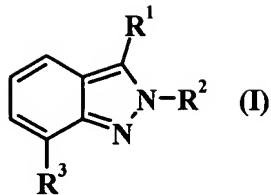


WHAT IS CLAIMED IS:

1. A compound of Formula I:



5 wherein:

R¹ is -NR^aR^b, -CR^cR^dR^e, CO₂R^a, or -C(O)NR^aR^b; or R¹ is hydrogen, cycloalkenyl, aryl, or heteroaryl, where each aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfonyl, halogen, haloalkyl, cyano, nitro, -C(O)NR^aR^b, and -NR^aR^b, where R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl;

10 R² is hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkylsulfonyl, aryl, or arylalkyl, wherein said aryl or arylalkyl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen;

15 R³ is aryl or heteroaryl, each optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfonyl, aminosulfonyl, monoalkylaminosulfonyl, dialkylaminosulfonyl, halogen, haloalkyl, cyano, nitro, and -NR^aR^b, where R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl;

20 R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, carboxyalkyl, acyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C₅₋₈ heterocyclyl, heterocyclalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenylalkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl,

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30

alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

R^a and R^b are taken together with the nitrogen to which they are attached from an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, 5 aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally 10 monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

R^c is hydrogen, hydroxy, C₁₋₆ alkoxy, or -NR^a"R^b";

R^d and R^e are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, heteroalkyl, heterocyclyl, 20 heterocyclalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C₁₋₃alkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen; or

R^c and R^d are taken together to form a divalent group selected from C₁₋₆ alkylidenyl, C₁₋₆ heteroalkylidenyl, C₃₋₆ cycloalkylidenyl, C₃₋₆ cycloalkyl-alkylidenyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl-alkylidenyl, C₃₋₆ heterocyclidenyl, C₃₋₆ heterocycl-C₁₋₃ alkylidenyl, C₃₋₆ heterocyclalkyl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkyl-alkylidenyl, 30 heteroaryl-C₁₋₃ alkylidenyl, and heteroarylalkyl-C₁₋₃ alkylidenyl, wherein each of said cycloalkyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen; or

R^d and R^e are taken together with the carbon to which they are attached to form a cycloalkyl or heterocycll ring;

$R^{a''}$ and $R^{b''}$ are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, carboxyalkyl, acyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C₅₋₈ heterocycll, heterocyclalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C₁₋₃ alkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

$R^{a''}$ and $R^{b''}$ are taken together with the nitrogen to which they are attached form an heterocycll or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

or individual isomers, racemic or non-racemic mixtures of isomers, or pharmaceutically acceptable salts thereof.

2. The compound of claim 1 wherein R³ is optionally substituted phenyl.
3. The compound of claim 2, wherein R³ is a di- or tri-substituted phenyl.
4. The compound of claim 3, wherein R³ is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl.

5. The compound of claim 4, wherein R³ is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are each independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, halogen, haloalkyl, cyano, alkylamino, dialkylamino, and nitro.
- 5 6. The compound of claim 5, wherein R² is hydrogen, C₁₋₆ alkyl, or C₁₋₆ alkylcarbonyl.
7. The compound of claim 3, wherein R¹ is -CR^cR^dR^e and R^c is hydroxy.
8. The compound of claim 7, wherein R^d and R^e are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen.
- 10 9. The compound of claim 7, wherein R^d and R^e are each independently selected from the group consisting of C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, aryl, and heteroaryl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen.
- 15 10. The compound of claim 9, wherein R² is C₁₋₆ alkyl; and R³ is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are each independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, halogen, haloalkyl, cyano, and -NR^a"R^b", where R^a" and R^b" are each independently selected from the group consisting of hydrogen and C₁₋₉ alkyl.
- 20 11. The compound of claim 7, wherein R^d and R^e are taken together to form a cycloalkyl or heterocyclyl group.
12. The compound of claim 3, wherein R¹ is -CR^cR^dR^e; R^e is selected from the group consisting of C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen; and R^c and R^d are taken together to form a divalent group selected from C₁₋₆ alkylideny, C₁₋₆ heteroalkylideny, C₃₋₆ cycloalkylideny, C₃₋₆ cycloalkyl-alkylideny, C₃₋₆ cycloalkyl-C₁₋₃ alkylideny, C₃₋₆ heterocyclylalkylideny, C₃₋₆ heterocyclyl-C₁₋₃ alkylideny, C₃₋₆ heterocyclylalkyl-C₁₋₃ alkylideny, aryl-C₁₋₃ alkylideny, aryl-C₁₋₃ alkyl-alkylideny, heteroaryl-C₁₋₃ alkylideny, and heteroarylalkyl-C₁₋₃ alkylideny, wherein each of said cycloalkyl, aryl, or heteroaryl groups is optionally substituted.
- 25 30

13. The compound of claim 12, wherein R^c and R^d are taken together to form a divalent group selected from C₁₋₆ alkylidenyl, C₃₋₆ cycloalkyl-alkylidenyl, aryl-C₁₋₃ alkylidenyl, and heteroaryl-C₁₋₃ alkylidenyl, wherein each of said aryl or heteroaryl groups is optionally substituted.
- 5 14. The compound of claim 3, wherein R¹ is -CR^cR^dR^e; R^e is selected from the group consisting of C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, and heteroaryl, where the heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen; and R^c and R^d are taken together to form a divalent group selected from C₁₋₆ alkylidenyl, C₃₋₆ cycloalkyl-alkylidenyl, C₃₋₆ heterocycl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkylidenyl, and heteroaryl-C₁₋₃ alkylidenyl, wherein each of said aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, alkylamino, and dialkylamino.
- 10 15. The compound of claim 3, wherein R¹ is -CR^cR^dR^e and R^c is hydrogen.
- 15 16. The compound of claim 15, wherein R^d and R^e are each independently selected from the group consisting of C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen.
- 20 17. The compound of claim 15, wherein R^d and R^e are each independently selected from the group consisting of C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, aryl, and heteroaryl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen; R² is C₁₋₆ alkyl; and R³ is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, halogen, haloalkyl, cyano, and -NR^aR^b, where R^a and R^b are each independently selected from the group consisting of hydrogen and C₁₋₉ alkyl.
- 25 18. The compound of claim 3, wherein R¹ is -NR^aR^b; -C(O)NR^aR^b; or -CR^cR^dR^e, where R^c is -NR^aR^b and R^d and R^e are each independently selected from the group consisting of hydrogen and C₁₋₉ alkyl.
- 30 19. The compound of claim 18, wherein R^a, R^b, R^a, and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, heterocyclalkyl, optionally substituted arylalkyl, and optionally substituted heteroarylalkyl.

20. The compound of claim 18, wherein R^a and R^b, or R^{a''} and R^{b''}, are taken together with the nitrogen to which they are attached form an heterocycl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, and imidazoline, where each of said rings is optionally substituted with one or more substituents independently selected from the group consisting of hydroxy, oxo, alkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, and aminocarbonylamino, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group.

10 21. The compound of claim 3, wherein

R¹ is -NR^aR^b;

R^a is selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₆ alkoxyalkyl; and,

15 R^b is selected from the group consisting of C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, heterocyclalkyl, arylalkyl, and heteroarylalkyl, wherein each of said aryl or heteroaryl groups is optionally substituted.

20 22. The compound of claim 21, wherein R² is C₁₋₆ alkyl; and R³ is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, halogen, haloalkyl, cyano, and -NR^{a''}R^{b''}, where R^{a''} and R^{b''} are each independently selected from the group consisting of hydrogen and C₁₋₉ alkyl.

23. The compound of claim 3 wherein

R¹ is -CR^cR^dR^e;

25 R^c is -NR^{a'''}R^{b'''};

R^d and R^e are each independently selected from the group consisting of hydrogen and C₁₋₉ alkyl;

R^{a'''} is selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₆ alkoxyalkyl; and,

30 R^{b'''} is selected from the group consisting of C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, heterocyclalkyl, arylalkyl, and heteroarylalkyl, wherein each of said aryl or heteroaryl groups is optionally substituted.

24. The compound of claim 23, wherein R² is C₁₋₆ alkyl; and R³ is a 2,4-disubstituted or 2,4,6-trisubstituted phenyl, and the substituents are independently selected from the

- group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, halogen, haloalkyl, cyano, and -NR^{a"}R^{b"}, where R^{a"} and R^{b"} are each independently selected from the group consisting of hydrogen and C₁₋₉ alkyl.
25. The compound of claim 3, wherein R¹ is aryl or heteroaryl, where said aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfonyl, halogen, haloalkyl, cyano, nitro, and -NR^{a'}R^{b'}, where R^{a'} and R^{b'} are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl.
- 5 26. The compound of claim 25, where said aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, halogen, haloalkyl, cyano, and -NR^{a'}R^{b'}, where R^{a'} and R^{b'} are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl.
- 10 27. The compound of claim 1 wherein R³ is an optionally substituted pyridinyl.
28. The compound of claim 1, wherein R³ is a di- or tri-substituted pyridinyl.
- 15 29. The compound of claim 27, wherein R¹ is -CR^cR^dR^e and R^c is hydroxy.
30. The compound of claim 29, wherein R^d and R^e are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen.
- 20 20 31. The compound of claim 30, wherein R^d and R^e are each independently selected from the group consisting of C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, aryl, and heteroaryl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen.
- 25 32. The compound of claim 29, wherein R^d and R^e are taken together to form a cycloalkyl or heterocyclyl group.
33. The compound of claim 27, wherein R¹ is -CR^cR^dR^e; R^e is selected from the group consisting of C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen; and R^c and R^d are taken together to form a divalent group selected from C₁₋₆ alkylidenyl, C₁₋₆ heteroalkylidenyl, C₃₋₆ cycloalkylidenyl, C₃₋₆ cycloalkyl-alkylidenyl, C₃₋₆ cycloalkyl-C₁₋₃

alkyl-alkylidenyl, C₃₋₆ heterocyclidenyl, C₃₋₆ heterocycl-C₁₋₃ alkylidenyl, C₃₋₆ heterocyclalkyl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkyl-alkylidenyl, heteroaryl-C₁₋₃ alkylidenyl, and heteroarylalkyl-C₁₋₃ alkylidenyl, wherein each of said cycloalkyl, aryl, or heteroaryl groups is optionally substituted.

- 5 34. The compound of claim 33, wherein R^c and R^d are taken together to form a divalent group selected from C₁₋₆ alkylidenyl, C₃₋₆ cycloalkyl-alkylidenyl, aryl-C₁₋₃ alkylidenyl, and heteroaryl-C₁₋₃ alkylidenyl.
- 10 35. The compound of claim 33, wherein R¹ is -CR^cR^dR^e; R^e is selected from the group consisting of C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, and heteroaryl, where the heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen; and R^c and R^d are taken together to form a divalent group selected from C₁₋₆ alkylidenyl, C₃₋₆ cycloalkyl-alkylidenyl, C₃₋₆ heterocycl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkylidenyl, and heteroaryl-C₁₋₃ alkylidenyl, wherein each of said aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, alkylamino, and dialkylamino.
- 15 36. The compound of claim 27, wherein R¹ is -CR^cR^dR^e and R^c is hydrogen.
- 20 37. The compound of claim 36, wherein R^d and R^e are each independently selected from the group consisting of C₁₋₉ alkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, aryl, arylalkyl, heteroaryl, and heteroarylalkyl, where each of said aryl or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen.
- 25 38. The compound of claim 27, wherein R¹ is -NR^aR^b; -C(O)NR^aR^b; or -CR^cR^dR^e, where R^c is -NR^{a''}R^{b''}; and, R^d and R^e are each independently selected from the group consisting of hydrogen and C₁₋₉alkyl.
- 30 39. The compound of claim 38, wherein R^a, R^b, R^{a''}, and R^{b''} are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, heterocyclalkyl, arylalkyl, and heteroarylalkyl.
40. The compound of claim 38, wherein R^a and R^b, or R^{a''} and R^{b''}, are taken together with the nitrogen to which they are attached form an heterocycl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, and imidazoline, where each of said rings is optionally substituted with one or more substituents independently

selected from the group consisting of hydroxy, oxo, alkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, and aminocarbonylamino, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group.

5 41. The compound of claim 27, wherein R¹ is -NR^aR^b;

R^a is selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₆ alkoxyalkyl;
and

R^b is selected from the group consisting of C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl,
C₃₋₆ cycloalkyl-C₁₋₃ alkyl, heterocyclalkyl, arylalkyl, and heteroarylalkyl.

10 42. The compound of claim 27 wherein

R¹ is -CR^cR^dR^e;

R^c is -NR^a"R^b";

R^d and R^e are each independently selected from the group consisting of hydrogen and
C₁₋₉ alkyl;

15 R^a" is selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₆
alkoxyalkyl; and

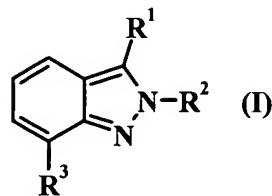
R^b" is selected from the group consisting of C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl,
C₃₋₆ cycloalkyl-C₁₋₃ alkyl, heterocyclalkyl, arylalkyl, and heteroarylalkyl,
wherein each of said aryl or heteroaryl groups is optionally substituted.

20 43. The compound of claim 27, wherein R¹ is aryl or heteroaryl where said aryl or heteroaryl
is optionally substituted.

44. The compound of claim 43, where said aryl or heteroaryl is optionally substituted with
one or more substituents independently selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, halogen,
haloalkyl, cyano, and -NR^a'R^b', where R^a' and R^b' are each independently selected from the
group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl.

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45. A pharmaceutical composition comprising a therapeutically effective amount of at least
one compound of formula I



wherein:

5 R¹ is -NR^aR^b, -CR^cR^dR^e, CO₂R^a, or -C(O)NR^aR^b; or R¹ is hydrogen, cycloalkenyl, aryl, or heteroaryl, where each aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfonyl, halogen, haloalkyl, cyano, nitro, -C(O)NR^{a'}R^{b'}, and -NR^{a'}R^{b'}, where R^{a'} and R^{b'} are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl;

10 R² is hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkylsulfonyl, aryl, or arylalkyl, wherein said aryl or arylalkyl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen;

15 R³ is aryl or heteroaryl, each optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfonyl, aminosulfonyl, monoalkylaminosulfonyl, dialkylaminosulfonyl, halogen, haloalkyl, cyano, nitro, and -NR^{a''}R^{b''}, where R^{a''} and R^{b''} are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl;

20 R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, carboxyalkyl, acyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C₅₋₈ heterocyclyl, heterocyclalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenylalkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

25 R^a and R^b are taken together with the nitrogen to which they are attached form an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said

rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C₁-₆ alkyl, haloalkyl, C₁-₆ alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or 10 piperazinyl group;

R^c is hydrogen, hydroxy, C₁-₆ alkoxy, or -NR^{a'''}R^{b'''};

R^d and R^e are each independently selected from the group consisting of hydrogen, C₁-₉ alkyl, hydroxyalkyl, C₁-₆ alkoxyalkyl, C₁-₆ alkylthioalkyl, heteroalkyl, heterocyclyl, heterocyclylalkyl, C₃-₆ cycloalkyl, C₃-₆ cycloalkyl-C₁-₃ alkyl, di-15 C₃-₆ cycloalkyl-C₁-₃ alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C₁-₃ alkyl, and C₁-₃ alkyl substituted with both a C₃-₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁-₆ alkyl, haloalkyl, C₁-₆ alkoxy, amino, alkylamino, dialkylamino, and halogen; or 20

R^c and R^d are taken together to form a divalent group selected from C₁-₆ alkylidenyl, C₁-₆ heteroalkylidenyl, C₃-₆ cycloalkylidenyl, C₃-₆ cycloalkyl-alkylidenyl, C₃-₆ cycloalkyl-C₁-₃ alkyl-alkylidenyl, C₃-₆ heterocyclylidenyl, C₃-₆ heterocyclyl-C₁-₃ alkylidenyl, C₃-₆ heterocyclylalkyl-C₁-₃ alkylidenyl, aryl-C₁-₃ alkylidenyl, aryl-C₁-₃ alkyl-alkylidenyl, heteroaryl-C₁-₃ alkylidenyl, and heteroarylalkyl-C₁-₃ alkylidenyl, wherein each of said cycloalkyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from C₁-₆ alkyl, haloalkyl, C₁-₆ alkoxy, amino, alkylamino, dialkylamino, and halogen; or 25

R^d and R^e are taken together with the carbon to which they are attached to form a cycloalkyl or heterocyclyl ring;

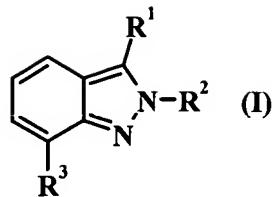
R^{a'''} and R^{b'''} are each independently selected from the group consisting of hydrogen, C₁-₉ alkyl, hydroxyalkyl, C₁-₆ alkoxyalkyl, C₁-₆ alkylthioalkyl, carboxyalkyl, acyl, C₃-₆ cycloalkyl, C₃-₆ cycloalkyl-C₁-₃ alkyl, di-C₃-₆ cycloalkyl-C₁-₃ alkyl,

C₁₋₆ heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C₅₋₈ heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C₁₋₃ alkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

R^{a''} and R^{b''} are taken together with the nitrogen to which they are attached form an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C₁₋₆alkyl, haloalkyl, C₁₋₆alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

or individual isomers, racemic or non-racemic mixtures of isomers, or pharmaceutically acceptable salts thereof; in admixture with at least one pharmaceutically acceptable carrier.

30 46. A method for treating a subject having a disease state that is alleviated by treatment with a CRF receptor antagonist, which comprises administering to such a subject a therapeutically effective amount of a compound of formula I



wherein:

R¹ is -NR^aR^b, -CR^cR^dR^e, CO₂R^a, or -C(O)NR^aR^b; or R¹ is hydrogen, cycloalkenyl, aryl, or heteroaryl, where each aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfonyl, halogen, haloalkyl, cyano, nitro, -C(O)NR^aR^b, and -NR^aR^b, where R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl;

R² is hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkylsulfonyl, aryl, or arylalkyl, wherein said aryl or arylalkyl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen;

R³ is aryl or heteroaryl, each optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfonyl, aminosulfonyl, monoalkylaminosulfonyl, dialkylaminosulfonyl, halogen, haloalkyl, cyano, nitro, and -NR^aR^b, where R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl;

R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, carboxyalkyl, acyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C₅₋₈ heterocyclol, heterocyclolalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenylalkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

R^a and R^b are taken together with the nitrogen to which they are attached form an heterocyclol or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine,

homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamin, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

R^c is hydrogen, hydroxy, C₁₋₆ alkoxy, or -NR^{a'"}R^{b'"};

R^d and R^e are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, heteroalkyl, heterocyclyl, heterocyclylalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C₁₋₃ alkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen; or

R^c and R^d are taken together to form a divalent group selected from C₁₋₆ alkylidenyl, C₁₋₆ heteroalkylidenyl, C₃₋₆ cycloalkylidenyl, C₃₋₆ cycloalkyl-alkylidenyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl-alkylidenyl, C₃₋₆ heterocyclylidenyl, C₃₋₆ heterocyclyl-C₁₋₃ alkylidenyl, C₃₋₆ heterocyclylalkyl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkyl-alkylidenyl, heteroaryl-C₁₋₃ alkylidenyl, and heteroarylalkyl-C₁₋₃ alkylidenyl, wherein each of said cycloalkyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen; or

R^d and R^e are taken together with the carbon to which they are attached to form a cycloalkyl or heterocyclyl ring;

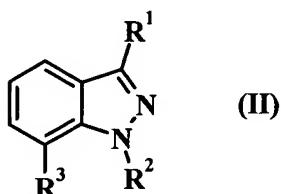
R^{a'"} and R^{b'"} are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, carboxyalkyl, acyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C₅₋₈ heterocyclyl, heterocyclylalkyl, aryl, arylalkyl,

heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C₁₋₃ alkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, hydroxylalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

R^{a'''} and R^{b'''} are taken together with the nitrogen to which they are attached form an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxylalkyl, alkoxy, alkoxyalkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

or individual isomers, racemic or non-racemic mixtures of isomers, or pharmaceutically acceptable salts thereof.

47. The method of claim 46, wherein the disease state is selected from the group consisting of phobias, stress-related illnesses, mood disorders, eating disorders, generalized anxiety disorders, stress-induced gastrointestinal dysfunctions, neurodegenerative diseases, and neuropsychiatric disorders.
- 25
48. A compound of Formula II



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wherein:

R¹ is -NR^aR^b, -CR^cR^dR^e, CO₂R^a; or, R¹ is hydrogen, cycloalkenyl, aryl, or heteroaryl, where each aryl or heteroaryl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfonyl, halogen, haloalkyl, cyano, nitro, -C(O)NR^aR^b, and -NR^aR^b, where R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl;

5

R² is hydrogen, C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkylsulfonyl, aryl, or arylalkyl, wherein said aryl or arylalkyl is optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, and halogen;

10

R³ is aryl or heteroaryl, each optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfonyl, aminosulfonyl, monoalkylaminosulfonyl, dialkylaminosulfonyl, halogen, haloalkyl, cyano, nitro, and -NR^aR^b, where R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, and C₁₋₉ alkylcarbonyl;

15

R^a and R^b are each independently selected from the group consisting of hydrogen, C₁₋₉alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, carboxyalkyl, acyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C₅₋₈ heterocyclyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenylalkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

20

R^a and R^b are taken together with the nitrogen to which they are attached form an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more

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substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylamino, and phenyl, wherein each of said phenyl groups is
5 optionally substituted with one or more groups independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group;

10 R^c is hydrogen, hydroxy, C₁₋₆ alkoxy, or -NR^{a'''}R^{b'''};

15 R^d and R^e are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, heteroalkyl, heterocyclyl, heterocyclylalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C₁₋₃ alkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen; or

20 R^c and R^d are taken together to form a divalent group selected from C₁₋₆ alkylidenyl, C₁₋₆ heteroalkylidenyl, C₃₋₆ cycloalkylidenyl, C₃₋₆ cycloalkyl-alkylidenyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl-alkylidenyl, C₃₋₆ heterocyclylidenyl, C₃₋₆ heterocyclyl-C₁₋₃ alkylidenyl, C₃₋₆ heterocyclylalkyl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkylidenyl, aryl-C₁₋₃ alkyl-alkylidenyl, heteroaryl-C₁₋₃ alkylidenyl, and heteroarylalkyl-C₁₋₃ alkylidenyl, wherein each of said cycloalkyl, aryl, or heteroaryl groups is
25 optionally substituted with one or more substituents independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen; or

30 R^d and R^e are taken together with the carbon to which they are attached to form a cycloalkyl or heterocyclyl ring;

R^{a'''} and R^{b'''} are each independently selected from the group consisting of hydrogen, C₁₋₉ alkyl, hydroxyalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylthioalkyl, carboxyalkyl, acyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl-C₁₋₃ alkyl, di-C₃₋₆ cycloalkyl-C₁₋₃ alkyl, C₁₋₆ heteroalkyl, aminoalkyl, aminocarbonylalkyl, cyanoalkyl, C₅₋₈ heterocyclyl,

heterocyclalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, phenylalkyl, diphenyl-C₁₋₃ alkyl, and C₁₋₃ alkyl substituted with both a C₃₋₆ cycloalkyl and a phenyl group, wherein each of said cycloalkyl, phenyl, aryl, or heteroaryl groups is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, hydroxyalkyl, cyano, acylamino, alkylsulfonyl, alkylsulfonyloxy, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl; or

R^{a"} and R^{b"} are taken together with the nitrogen to which they are attached form an heterocyclyl or heteroaryl ring selected from the group consisting of pyrrolidine, piperidine, homopiperidine, tetrahydropyridine, 1,2,3,4-tetrahydroquinoline, 1,2,3,4-tetrahydroisoquinoline, tetrahydropyrimidine, hexahydropyrimidine, pyrazolidine, piperazine, morpholine, imidazoline, pyrrole, pyrazole, and imidazole, where each of said rings is optionally substituted with one or more substituents selected from the group consisting of hydroxy, oxo, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, aminoalkyl, acyl, acylamino, aminocarbonyl, aminocarbonylalkyl, aminocarbonylamino, aminosulfonyl, alkylsulfonylamino, aminosulfonylaminio, and phenyl, wherein each of said phenyl groups is optionally substituted with one or more groups independently selected from C₁₋₆ alkyl, haloalkyl, C₁₋₆ alkoxy, amino, alkylamino, dialkylamino, and halogen, and each of said amino groups is optionally monosubstituted or disubstituted with alkyl, or is contained in a pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl group; or,

individual isomers, racemic or non-racemic mixtures of isomers, or pharmaceutically acceptable salts thereof;

with the proviso that if R¹ is -CR^cR^dR^e, R² is hydrogen or alkyl, R³ is a 5- or 6-membered heteroaromatic ring, and

(i) R^c is hydrogen and one of R^d and R^e is hydrogen or alkyl, then the other of R^d and R^e is other than hydrogen or alkyl if the number of carbon atoms in R^d and R^e together are zero to three; or,

- (ii) R^c is hydrogen and one of R^d and R^e is hydrogen or alkyl, then the other of R^d and R^e is other than alkoxy, alkoxyalkyl, heterocyclyl, heterocyclylalkyl, or heteroalkyl; or,
- (iii) R^c is NR^{a'"}R^{b'"} and one of R^{a'"} and R^{b'"} is hydrogen or C₁₋₃ alkyl, then the other of R^{a'"} and R^{b'"} is other than hydrogen or C₁₋₃ alkyl.

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